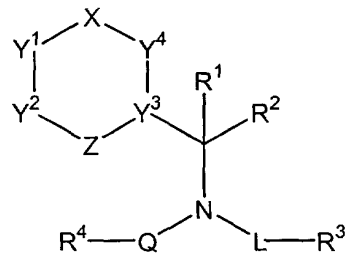
WHAT IS CLAIMED IS:

1. A compound having the formula (**I**):



I

wherein

X is a member selected from the group consisting of a bond, -C(O)-, $-C(R^5)(R^6)-$, $-C(R^5)=$, -S(O)-, $-S(O)_2-$ and –N=;

Z is a member selected from the group consisting of a bond, –N=, -O-, -S-, $-N(R^{17})-$ and $-C(R^7)=$, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C_1-C_8)alkylene, (C_1-C_8)alkylene and (C_2-C_8)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C_1-C_8)alkylene, (C_2-C_8)heteroalkylene, -C(O)-, -OC(O)-, $-N(R^8)C(O)-$, $-CH_2CO-$, $-CH_2SO-$ and $-CH_2SO_2-$;

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

R^1 and R^2 are members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R^2 and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R^3 is a member selected from the group consisting of hydroxy, (C_1-C_8)alkoxy, amino, (C_1-C_8)alkylamino, di(C_1-C_8)alkylamino, (C_2-C_8)heteroalkyl, (C_3-C_9)heterocyclyl, (C_1-C_8)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, $-CONR^9R^{10}$ and $-CO_2R^{11}$;

R^4 is a member selected from the group consisting of (C_1-C_{20})alkyl, (C_2-C_{20})heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_6)heteroalkyl, aryl(C_1-C_6)alkyl and aryl(C_2-C_6)heteroalkyl;

R^5 and R^6 are each members independently selected from the group

consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl, or optionally R^5 and R^6 are combined to form a 3- to 7-membered ring;

R^7 and R^8 are each members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl,

each R^9, R^{10} and R^{11} is independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl;

Y^1 and Y^2 are each members independently selected from the group consisting of -C(R^{12})=, -N=, -O-, -S- and –N(R^{13})-;

Y^3 is a member selected from the group consisting of N and C wherein the carbon atom shares a double bond with either Z or Y^4; and

Y^4 is a member selected from the group consisting of -N(R^{14})-, -C(R^{14})=, -N= and -N(R^{14})-C(R^{15})(R^{16})-, wherein

each R^{12} is a member independently selected from the group consisting of H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl, or optionally when Y^1 and Y^2 are both -C(R^{12})= the two R^{12} groups can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y^1 is –C(R^{12})= and X is –C(R^5)= or –C(R^5)(R^6)-, R^{12} and R^5 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

R^{13} is a member selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl;

R^{14} is a member selected from the group consisting of (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_2-C_8)heteroalkyl, heteroaryl(C_1-C_8)alkyl, heteroaryl(C_2-C_8)heteroalkyl, heteroaryl and aryl;

R^{15} and R^{16} are each members independently selected from the group consisting of H, (C_1-C_8)alkyl and (C_2-C_8)heteroalkyl; and

R^{17} is a member selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl, or optionally when Y^2 is –C(R^{12})= or –N(R^{13})-, R^{17} can be combined with R^{12} or R^{13} to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

with the proviso that when the Y^3-containing ring system is a

quinazolinone or quinolinone ring system, and R^4-Q- is substituted or unsubstituted (C_5-C_{15})alkyl, then R^3-L- is other than substituted or unsubstituted (C_2-C_8)alkylene or a substituted or unsubstituted (C_2-C_8)heteroalkylene attached to –NR'R", wherein R' and R" are independently selected from the group consisting of hydrogen and (C_1-C_8)alkyl, or optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6- or 7-membered ring.

2. A compound of Claim 1, wherein Y^4 is –N(R^{14})- wherein R^{14} is selected from the group consisting of aryl and heteroaryl.

3. A compound of Claim 1, wherein X is -C(O)-

4. A compound of Claim 1, wherein Z is –N=.

5. A compound of Claim 1, wherein Y^1 and Y^2 are each –C(R^{12})= wherein the two R^{12} groups are combined to form a fused 6-membered aryl or heteroaryl ring.

6. A compound of Claim 1, wherein X is –C(O)-; Z is –N=; Y^3 is C; and Y^1 and Y^2 are each –C(R^{12})=.

7. A compound of Claim 6, wherein the two R^{12} groups are combined to form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

8. A compound of Claim 6, wherein Y^4 is –N(R^{14})-.

9. A compound of Claim 6, wherein Y^4 is –C(R^{14})=.

10. A compound of Claim 7, wherein Y^4 is –N(R^{14})-.

11. A compound of Claim 7, wherein Y^4 is –C(R^{14})=.

12. A compound of Claim 1, wherein L is (C_1-C_8)alkylene.

13. A compound of Claim 1, wherein Q is -C(O)-.

14. A compound of Claim 1, wherein R^4 is selected from the group consisting of (C_5-C_{15})alkyl, substituted or unsubstituted phenyl and biphenyl.

15. A compound of Claim 1, wherein R^3 is selected from the group consisting of $(C_1$-$C_8)$alkoxy, $(C_1$-$C_8)$alkylamino, di$(C_1$-$C_8)$alkylamino, $(C_2$-$C_8)$heteroalkyl, $(C_3$-$C_9)$heterocyclyl, $(C_1$-$C_8)$acylamino, cyano, heteroaryl, $-CONR^9R^{10}$ and $-CO_2R^{11}$.

16. A compound of Claim 1, wherein R^1 and R^2 are independently selected from the group consisting of H and $(C_1$-$C_4)$alkyl.

17. A compound of Claim 1, wherein Y^3 is C and the carbon atom shares a double bond with Z.

18. A compound of Claim 1, wherein X is $-C(R^5)(R^6)-$; Y^4 is $-N(R^{14})-$, wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^3 is C; Z is –N=; and Y^1 and Y^2 are each $-C(R^{12})=$.

19. A compound of Claim 18, wherein X is $-CH_2-$ and the R^{12} groups are combined to form a substituted or unsubstituted aryl or heteroaryl ring.

20. A compound of Claim 1, wherein X is $-C(R^5)=$; Y^4 is $-C(R^{14})=$, wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^3 is C; Z is –N=; and Y^1 and Y^2 are each $-C(R^{12})=$.

21. A compound of Claim 20, wherein R^1 is H.

22. A compound of Claim 1, wherein X is a bond; Y^4 is $-N(R^{14})-$, wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^3 is C; Z is –N=; and Y^1 and Y^2 are each $-C(R^{12})=$.

23. A compound of Claim 22, wherein the R^{12} groups are combined to form a substituted or unsubstituted aryl or heteroaryl ring.

24. A compound of Claim 22, wherein R^1 is H.

25. A compound of Claim 1, wherein X is $-C(R^5)=$; Y^4 is $-C(R^{14})=$, wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^3 is C; Z is $-C(R^7)=$; and Y^1 and Y^2 are each $-C(R^{12})=$.

26. A compound of Claim 25, wherein R^5 and R^{12} are combined to form a 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

27. A compound of Claim 25, wherein R^1 is H.

28. A compound of Claim 1, wherein X is a bond; Z is –N= or $-N(R^{17})-$; Y^4 is $-C(R^{14})=$, wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^1 is selected from the group consisting of –O-, -S- and $-N(R^{13})-$; and Y^2 is $-C(R^{12})=$.

29. A compound of Claim 28, wherein Y^1 is –O- and Z is –N=.

30. A compound of Claim 28, wherein Y^1 is –S- and Z is –N=.

31. A compound of Claim 28, wherein Y^1 is $-N(R^{13})-$ and Z is –N=.

32. A compound of Claim 1, wherein X is $-SO_2-$; Y^4 is $-N(R^{14})=$, wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^3 is C; Z is –N= or $-C(R^7)=$; and Y^1 and Y^2 are each $-C(R^{12})=$.

33. A compound of Claim 32, wherein R^1 is H.

34. A compound of Claim 1, wherein X is a bond; Z is –O-, -S- or $-N(R^{17})-$; Y^1 is –N= or $-N(R^{13})-$; Y^2 is $-C(R^{12})=$; and Y^4 is $-C(R^{14})=$ wherein R^{14} is substituted or unsubstituted aryl or heteroaryl.

35. A compound of Claim 34, wherein Y^1 is –N= and Z is –O-.

36. A compound of Claim 34, wherein Y^1 is –N= and Z is –S-.

37. A compound of Claim 34, wherein Z is $-N(R^{17})-$.

38. A compound of Claim 34, wherein R^1 is H.

39. A compound of Claim 1, wherein X is a bond; Y^1 is $-N(R^{13})-$ or =N-; Y^2 is $-C(R^{12})=$; Y^3 is C; Y^4 is $-C(R^{14})=$ wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; and Z is $-N(R^{17})-$ or =N-, with the proviso that Y^1 and Z are not both =N-.

40. A compound of Claim 1, wherein X is a bond; Y^1 and Y^2 are each independently $-C(R^{12})=$; Y^3 is C; Y^4 is $-C(R^{14})=$ wherein R^{14} is substituted or

unsubstituted aryl or heteroaryl; and Z is $-N(R^{17})-$, O or S.

41. A compound of Claim 40, wherein the two R^{12} groups are combined to form a fused 5- or 6-membered substituted or unsubstituted aryl or heteroaryl ring.

42. A compound of Claim 1, wherein X is $-C(O)-$; Y^1 is $-N(R^{13})-$; Y^2 is $-N=$; Y^3 is C; Y^4 is $-N(R^{14})-$ wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; and Z is a bond.

43. A compound of Claim 42, wherein R^1 is H.

44. A compound of Claim 1, wherein X is$-C(O)-$; Z is $-N(R^{17})-$ wherein R^{17} is substituted or unsubstituted aryl or heteroaryl; Y^1 and Y^2 are each independently $-C(R^{12})=$; Y^3 is C; and Y^4 is $-N=$.

45. A compound of Claim 44, wherein R^1 is H.

46. A compound of Claim 1, wherein X and Z are $-N=$, Y^1 and Y^2 are each independently $-C(R^{12})=$; Y^3 is C; and Y^4 is $-C(R^{14})=$ wherein R^{14} is a substituted or unsubstituted aryl or heteroaryl group.

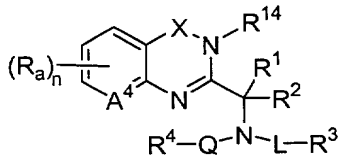
47. A compound of Claim 46, wherein R^1 is H.

48. A compound of Claim 1, wherein X is $-C(O)-$; Y^4 is $-N(R^{14})-C(R^5)(R^6)-$; wherein R^{14} is substituted or unsubstituted aryl or heteroaryl; Y^1 and Y^2 are each independently $-C(R^{12})=$; Y^3 is C; and Z is $-N=$.

49. A compound of Claim 48, wherein R^1 is H.

50. A compound of Claim 1, wherein the Y^3-containing ring system is selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone, quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole, pyridine, pyrazine and benzodiazepine.

51. A compound of Claim 1, having the formula (**III**):



III

wherein

A^4 is C or N;

X is –CO-, $–CH_2$- or a bond;

R^1 and R^2 are each members independently selected from the group consisting of H and $(C_1$-$C_4)$alkyl;

R^{14} is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

Q is –CO-;

L is $(C_1$-$C_8)$alkylene;

the subscript n is an integer of from 0 to 4; and

each R_a is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R", -SR', -R', -CN, $-NO_2$, $-CO_2R'$, -CONR'R", -C(O)R', -OC(O)NR'R", -NR"C(O)R', $-NR"C(O)_2R'$, ,-NR'-C(O)NR"R"', $-NH-C(NH_2)=NH$, $-NR'C(NH_2)=NH$, $-NH-C(NH_2)=NR'$, -S(O)R', $-S(O)_2R'$, $-S(O)_2NR'R"$, $-N_3$, $-CH(Ph)_2$, perfluoro$(C_1$-$C_4)$alkoxy, and perfluoro$(C_1$-$C_4)$alkyl, wherein R', R" and R"' are each independently selected from the group consisting of H, $(C_1$-$C_8)$alkyl, $(C_2$-$C_8)$heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-$(C_1$-$C_4)$alkyl, and (unsubstituted aryl)oxy-$(C_1$-$C_4)$alkyl.

52. A compound of Claim 51, wherein X is –C(O)-.

53. A compound of Claim 51, wherein X is $–CH_2$-.

54. A compound of Claim 51, wherein X is a bond.

55. A compound of Claim 51, wherein R^4 is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo$(C_1$-$C_4)$alkyl, halo$(C_1$-$C_4)$alkoxy, cyano, nitro, and phenyl.

56. A compound of Claim 51, wherein R^{14} is selected from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted thienyl, wherein the substituents are selected from the group consisting of cyano, halogen, $(C_1\text{-}C_8)$alkoxy, $(C_1\text{-}C_8)$alkyl, $(C_2\text{-}C_8)$heteroalkyl, $CONH_2$, methylenedioxy and ethylenedioxy.

57. A compound of Claim 51, wherein R^{14} is substituted phenyl, wherein the substituents are selected from the group consisting of cyano, halogen, $(C_1\text{-}C_8)$alkoxy, $(C_1\text{-}C_8)$alkyl, $(C_2\text{-}C_8)$heteroalkyl, $CONH_2$, methylenedioxy and ethylenedioxy.

58. A compound of Claim 51, wherein R^4 is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo$(C_1\text{-}C_4)$alkyl, halo$(C_1\text{-}C_4)$alkoxy, cyano, nitro and phenyl, and R^{14} is substituted phenyl, wherein the substituents are selected from the group consisting of cyano, halogen, $(C_1\text{-}C_8)$alkoxy, $(C_1\text{-}C_8)$alkyl, $(C_2\text{-}C_8)$heteroalkyl, $CONH_2$, methylenedioxy and ethylenedioxy.

59. A compound of Claim 51, wherein R^1 is selected from the group consisting of methyl, ethyl and propyl, and R^2 is hydrogen.

60. A compound of Claim 51, wherein R^1 and R^2 are each methyl.

61. A compound of Claim 51, wherein R^3 is selected from the group consisting of $(C_1\text{-}C_8)$alkoxy, amino, $(C_1\text{-}C_8)$alkylamino, di$(C_1\text{-}C_8)$alkylamino, $(C_2\text{-}C_8)$heteroalkyl, $(C_3\text{-}C_9)$heterocyclyl and heteroaryl.

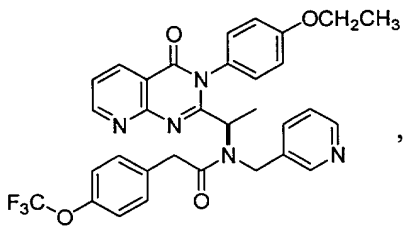
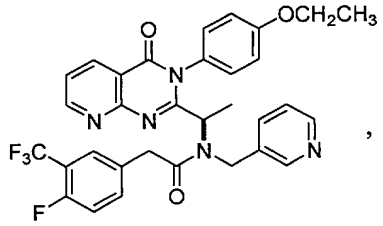
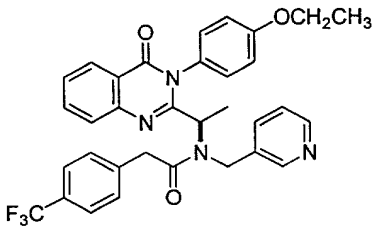
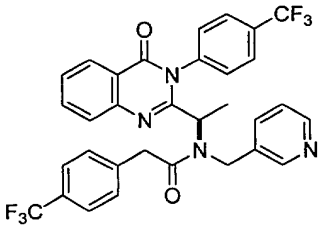
62. A compound of Claim 51, wherein R^3 is selected from the group consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl.

63. A compound of Claim 51, wherein L is $(C_1\text{-}C_4)$alkylene.

64. A compound of Claim 51, wherein X is –CO-; R^1 and R^2 are each independently selected from the group consisting of H, methyl and ethyl; R^{14} is phenyl; ; L is methylene, ethylene or propylene, R^3 is selected from the group consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R^4 is substituted or unsubstituted benzyl, wherein said substituents are selected from the group

consisting of halogen, halo(C_1-C_4)alkyl, halo(C_1-C_4)alkoxy, cyano, nitro, and phenyl; and each R_a is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R", -SR', -R', -CN, -NO_2, -CO_2R', -CONR'R", -C(O)R', -NR"C(O)R', -NR'-C(O)NR"R"', perfluoro(C_1-C_4)alkoxy, and perfluoro(C_1-C_4)alkyl, wherein R', R" and R"' are each independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C_1-C_4)alkyl, and (unsubstituted aryl)oxy-(C_1-C_4)alkyl.

65. A compound of Claim **51**, wherein said compound is selected from the group consisting of:


,

,

and

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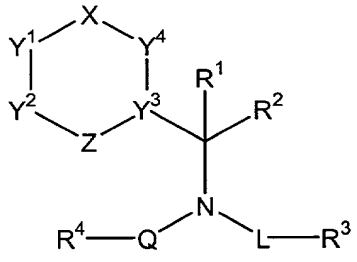
66. A pharmaceutical composition comprising a pharmaceutically acceptable carrier or excipient and a compound having the formula (**I**):



I

wherein

X is a member selected from the group consisting of a bond, -C(O)-, -C(R^5)(R^6)-, -C(R^5)=, -S(O)-, -$S(O)_2$- and –N=;

Z is a member selected from the group consisting of a bond, –N=, -O-, -S-, -N(R^{17})- and –C(R^7)=, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C_1-C_8)alkylene, (C_1-C_8)alkylene and (C_2-C_8)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C_1-C_8)alkylene, (C_2-C_8)heteroalkylene, -C(O)-, -OC(O)-, -N(R^8)C(O)-, -CH_2CO-, -CH_2SO- and -CH_2SO_2-;

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

R^1 and R^2 are members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R^2 and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R^3 is a member selected from the group consisting of hydroxy, (C_1-C_8)alkoxy, amino, (C_1-C_8)alkylamino, di(C_1-C_8)alkylamino, (C_2-C_8)heteroalkyl, (C_3-C_9)heterocyclyl, (C_1-C_8)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -CONR^{9}R^{10} and –CO_2R^{11};

R^4 is a member selected from the group consisting of (C_1-C_{20})alkyl, (C_2-C_{20})heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_6)heteroalkyl, aryl(C_1-C_6)alkyl and aryl(C_2-C_6)heteroalkyl;

R^5 and R^6 are each members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl, or optionally R^5 and R^6 are combined to form a 3- to 7-membered ring;

R^7 and R^8 are each members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl,

each R^9, R^{10} and R^{11} is independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl;

Y^1 and Y^2 are each members independently selected from the group consisting of -C(R^{12})=, -N=, -O-, -S- and –N(R^{13})-;

Y^3 is a member selected from the group consisting of N and C wherein the carbon atom shares a double bond with either Z or Y^4; and

Y^4 is a member selected from the group consisting of -N(R^{14})-, -C(R^{14})=,

-N= and -N(R^{14})-C(R^{15})(R^{16})-, wherein

each R^{12} is a member independently selected from the group consisting of H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl, or optionally when Y^1 and Y^2 are both -C(R^{12})= the two R^{12} groups can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y^1 is –C(R^{12})= and X is –C(R^5)= or –C(R^5)(R^6)-, R^{12} and R^5 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

R^{13} is a member selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl;

R^{14} is a member selected from the group consisting of (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_2-C_8)heteroalkyl, heteroaryl(C_1-C_8)alkyl, heteroaryl(C_2-C_8)heteroalkyl, heteroaryl and aryl;

R^{15} and R^{16} are each members independently selected from the group consisting of H, (C_1-C_8)alkyl and (C_2-C_8)heteroalkyl; and

R^{17} is a member selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl, or optionally when Y^2 is –C(R^{12})= or –N(R^{13})-, R^{17} can be combined with R^{12} or R^{13} to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

with the proviso that when the Y^3-containing ring system is a quinazolinone or quinolinone ring system, and R^4-Q- is substituted or unsubstituted (C_5-C_{15})alkyl, then R^3-L- is other than substituted or unsubstituted (C_2-C_8)alkylene or a substituted or unsubstituted (C_2-C_8)heteroalkylene attached to –NR'R", wherein R' and R" are independently selected from the group consisting of hydrogen and (C_1-C_8)alkyl, or optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6- or 7-membered ring.

67. A composition of Claim 66, wherein Y^4 is –N(R^{14})- wherein R^{14} is selected from the group consisting of aryl and heteroaryl.

68. A composition of Claim 66, wherein X is –C(O)-.

69. A composition of Claim 66, wherein Z is –N=.

70. A composition of Claim 66, wherein Y^1 and Y^2 are each $-C(R^{12})=$ wherein the two R^{12} groups are combined to form a fused 6-membered aryl or heteroaryl ring.

71. A composition of Claim 66, wherein X is –C(O)-; Z is –N=; Y^3 is C; and Y^1 and Y^2 are each $-C(R^{12})=$ wherein the two R^{12} groups are combined to form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

72. A composition of Claim 66, wherein L is $(C_1\text{-}C_8)$alkylene.

73. A composition of Claim 66, wherein Q is –C(O)-.

74. A composition of Claim 66, wherein R^4 is selected from the group consisting of $(C_5\text{-}C_{15})$alkyl, substituted or unsubstituted phenyl and biphenyl.

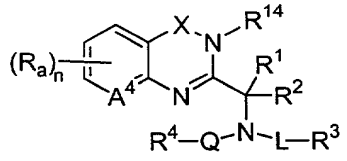
75. A composition of Claim 66, wherein R^3 is selected from the group consisting of $(C_1\text{-}C_8)$alkoxy, $(C_1\text{-}C_8)$alkylamino, di$(C_1\text{-}C_8)$alkylamino, $(C_2\text{-}C_8)$heteroalkyl, $(C_3\text{-}C_9)$heterocyclyl, $(C_1\text{-}C_8)$acylamino, cyano, heteroaryl, $-CONR^9R^{10}$ and $-CO_2R^{11}$.

76. A composition of Claim 66, wherein R^1 and R^2 are independently selected from the group consisting of H and $(C_1\text{-}C_4)$alkyl.

77. A composition of Claim 66, wherein Y^3 is C and the carbon atom shares a double bond with Z.

78. A composition of Claim 66, wherein the Y^3-containing ring system is selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone, quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole, pyridine, pyrazine and benzodiazepine.

79. A composition of Claim 66, wherein the compound has the formula (**III**):



III

wherein

A^4 is C or N;

X is –CO-, $–CH_2$- or a bond;

R^1 and R^2 are each members independently selected from the group consisting of H and $(C_1$-$C_4)$alkyl;

R^{14} is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

Q is –CO-;

L is $(C_1$-$C_8)$alkylene;

the subscript n is an integer of from 0 to 4; and

each R_a is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R", -SR', -R', -CN, $-NO_2$, $-CO_2$R', -CONR'R", -C(O)R', -OC(O)NR'R", -NR"C(O)R', $-NR"C(O)_2R'$, ,-NR'-C(O)NR"R"', $-NH-C(NH_2)=NH$, $-NR'C(NH_2)=NH$, $-NH-C(NH_2)=NR'$, $-S(O)R'$, $-S(O)_2R'$, $-S(O)_2NR'R"$, $-N_3$, $-CH(Ph)_2$, perfluoro$(C_1$-$C_4)$alkoxy, and perfluoro$(C_1$-$C_4)$alkyl, wherein R', R" and R"' are each independently selected from the group consisting of, $(C_1$-$C_8)$alkyl, $(C_2$-$C_8)$heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-$(C_1$-$C_4)$alkyl, and (unsubstituted aryl)oxy-$(C_1$-$C_4)$alkyl.

80. A composition in accordance with Claim 79, wherein X is –C(O)-.

81. A composition in accordance with Claim 79, wherein X is $–CH_2$-.

82. A composition in accordance with Claim 79, wherein X is a bond.

83. A composition in accordance with Claim 79, wherein R^4 is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo$(C_1$-$C_4)$alkyl, halo$(C_1$-$C_4)$alkoxy, cyano, nitro, and phenyl.

84. A composition in accordance with Claim 79, wherein R^{14} is selected from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted thienyl, wherein the substituents are selected from the group consisting of cyano, halogen, $(C_1$-$C_8)$alkoxy, $(C_1$-$C_8)$alkyl, $(C_2$-$C_8)$heteroalkyl, $CONH_2$, methylenedioxy and ethylenedioxy.

85. A composition in accordance with Claim 79, wherein R^1 is selected from the group consisting of methyl, ethyl and propyl, and R^2 is.

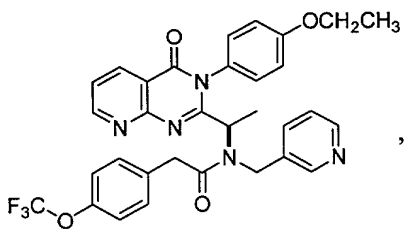
86. A composition in accordance with Claim 79, wherein R^1 and R^2 are each methyl.

87. A composition in accordance with Claim 79, wherein R^3 is selected from the group consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl.

88. A composition in accordance with Claim 79, wherein L is (C_1-C_4)alkylene.

89. A composition in accordance with Claim 79, wherein X is –CO-; R^1 and R^2 are each independently selected from the group consisting of, methyl and ethyl; R^{14} is selected from the group consisting of substituted or unsubstituted phenyl; L is methylene, ethylene or propylene, R^3 is selected from the group consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R^4 is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo(C_1-C_4)alkyl, halo(C_1-C_4)alkoxy, cyano, nitro, and phenyl; and each R_a is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R", -SR', -R', -CN, -NO_2, -CO_2R', -CONR'R", -C(O)R', -NR"C(O)R', -NR'-C(O)NR"R"', perfluoro(C_1-C_4)alkoxy, and perfluoro(C_1-C_4)alkyl, wherein R', R" and R"' are each independently selected from the group consisting of, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C_1-C_4)alkyl, and (unsubstituted aryl)oxy-(C_1-C_4)alkyl.

90. The composition of Claim 79, wherein said compound is: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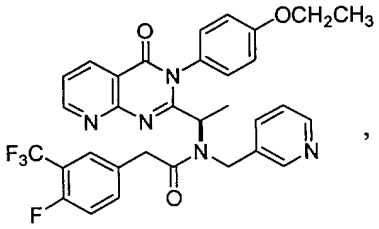
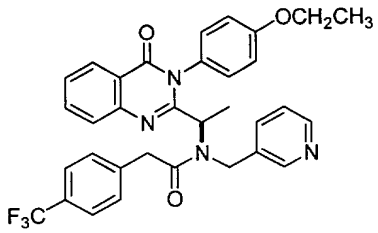
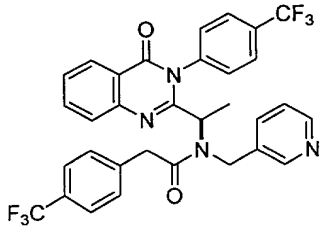

,

and

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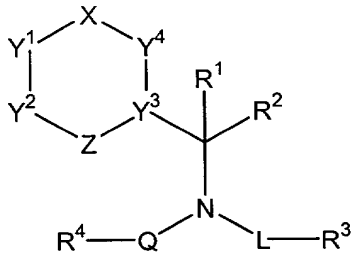
91. A method of treating an inflammatory or immune condition or disease in a subject, said method comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound having the formula (**I**):



I

wherein

X is a member selected from the group consisting of a bond, -C(O)-, $-C(R^5)(R^6)-$, $-C(R^5)=$, -S(O)-, $-S(O)_2-$ and –N=;

Z is a member selected from the group consisting of a bond, –N=, -O-, -S-, $-N(R^{17})-$ and $-C(R^7)=$, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C_1-C_8)alkylene, (C_1-C_8)alkylene and (C_2-C_8)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C_1-C_8)alkylene, (C_2-C_8)heteroalkylene, -C(O)-, -OC(O)-, $-N(R^8)C(O)-$, $-CH_2CO-$, $-CH_2SO-$ and $-CH_2SO_2-$;

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

R^1 and R^2 are members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R^2 and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R^3 is a member selected from the group consisting of hydroxy, (C_1-C_8)alkoxy, amino, (C_1-C_8)alkylamino, di(C_1-C_8)alkylamino, (C_2-C_8)heteroalkyl, (C_3-C_9)heterocyclyl, (C_1-C_8)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -$CONR^9R^{10}$ and –CO_2R^{11};

R^4 is a member selected from the group consisting of (C_1-C_{20})alkyl, (C_2-C_{20})heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_6)heteroalkyl, aryl(C_1-C_6)alkyl and aryl(C_2-C_6)heteroalkyl;

R^5 and R^6 are each members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl, or optionally R^5 and R^6 are combined to form a 3- to 7-membered ring;

R^7 and R^8 are each members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl,

each R^9, R^{10} and R^{11} is independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl;

Y^1 and Y^2 are each members independently selected from the group consisting of -$C(R^{12})$=, -N=, -O-, -S- and –$N(R^{13})$-;

Y^3 is a member selected from the group consisting of N and C wherein the carbon atom shares a double bond with either Z or Y^4; and

Y^4 is a member selected from the group consisting of -$N(R^{14})$-, -$C(R^{14})$=, -N= and -$N(R^{14})$-$C(R^{15})(R^{16})$-, wherein

each R^{12} is a member independently selected from the group consisting of H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl, or optionally when Y^1 and Y^2 are both -$C(R^{12})$= the two R^{12} groups can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y^1 is –$C(R^{12})$= and X is –$C(R^5)$= or –$C(R^5)(R^6)$-, R^{12} and R^5 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

R^{13} is a member selected from the group consisting of H, (C_1-C_8)alkyl,

$(C_2$-$C_8)$heteroalkyl, heteroaryl, aryl, heteroaryl$(C_1$-$C_6)$alkyl, heteroaryl$(C_2$-$C_8)$heteroalkyl, aryl$(C_1$-$C_8)$alkyl and aryl$(C_2$-$C_8)$heteroalkyl;

R^{14} is a member selected from the group consisting of $(C_1$-$C_8)$alkyl, $(C_2$-$C_8)$heteroalkyl, aryl$(C_1$-$C_8)$alkyl, aryl$(C_2$-$C_8)$heteroalkyl, heteroaryl$(C_1$-$C_8)$alkyl, heteroaryl$(C_2$-$C_8)$heteroalkyl, heteroaryl and aryl;

R^{15} and R^{16} are each members independently selected from the group consisting of H, $(C_1$-$C_8)$alkyl and $(C_2$-$C_8)$heteroalkyl; and

R^{17} is a member selected from the group consisting of H, $(C_1$-$C_8)$alkyl, $(C_2$-$C_8)$heteroalkyl, heteroaryl, aryl, heteroaryl$(C_1$-$C_6)$alkyl, heteroaryl$(C_2$-$C_8)$heteroalkyl, aryl$(C_1$-$C_8)$alkyl and aryl$(C_2$-$C_8)$heteroalkyl, or optionally when Y^2 is $-C(R^{12})=$ or $-N(R^{13})-$, R^{17} can be combined with R^{12} or R^{13} to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

with the proviso that when the Y^3-containing ring system is a quinazolinone or quinolinone ring system, and R^4-Q- is substituted or unsubstituted $(C_5$-$C_{15})$alkyl, then R^3-L- is other than substituted or unsubstituted $(C_2$-$C_8)$alkylene or a substituted or unsubstituted $(C_2$-$C_8)$heteroalkylene attached to –NR'R", wherein R' and R" are independently selected from the group consisting of hydrogen and $(C_1$-$C_8)$alkyl, or optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6- or 7-membered ring.

92. The method of Claim 91, wherein said compound is administered orally, parenterally or topically.

93. The method of Claim 91, wherein said compound modulates CXCR3.

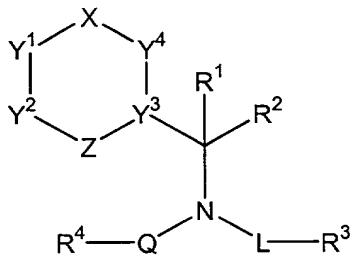
94. The method of Claim 91, wherein said compound is a CXCR3 antagonist.

95. The method of Claim 91, wherein said inflammatory or immune condition or disease is selected from the group consisting of neurodegenerative diseases, multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections,

organ transplant conditions and skin transplant conditions.

96. The method of Claim 91, wherein said compound is administered in combination with a second therapeutic agent, wherein said second therapeutic agent is useful for treating or preventing neurodegenerative diseases, multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ transplant conditions or skin transplant conditions.

97. A method of treating a CXCR3-mediated condition or disease in a subject, said method comprising administering to a subject in need of such treatment a therapeutically effective amount of a compound having the formula (**I**):



I

wherein

X is a member selected from the group consisting of a bond, -C(O)-, $-C(R^5)(R^6)-$, $-C(R^5)=$, -S(O)-, $-S(O)_2-$ and –N=;

Z is a member selected from the group consisting of a bond, –N=, -O-, -S-, $-N(R^{17})-$ and $-C(R^7)=$, with the proviso that X and Z are not both a bond;

L is a member selected from the group consisting of a bond, C(O)-(C_1-C_8)alkylene, (C_1-C_8)alkylene and (C_2-C_8)heteroalkylene;

Q is a member selected from the group consisting of a bond, (C_1-C_8)alkylene, (C_2-C_8)heteroalkylene, -C(O)-, -OC(O)-, $-N(R^8)C(O)-$, $-CH_2CO-$, $-CH_2SO-$ and $-CH_2SO_2-$;

optionally L and Q can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 3 heteroatoms;

R^1 and R^2 are members independently selected from the group consisting

of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, aryl and heteroaryl, or optionally are combined to form a 3 to 8-membered ring having from 0 to 2 heteroatoms as ring vertices;

optionally R^2 and L can be linked together to form a 5- or 6-membered heterocyclic group having from 1 to 4 heteroatoms;

R^3 is a member selected from the group consisting of hydroxy, (C_1-C_8)alkoxy, amino, (C_1-C_8)alkylamino, di(C_1-C_8)alkylamino, (C_2-C_8)heteroalkyl, (C_3-C_9)heterocyclyl, (C_1-C_8)acylamino, amidino, guanidino, ureido, cyano, heteroaryl, -$CONR^9R^{10}$ and $-CO_2R^{11}$;

R^4 is a member selected from the group consisting of (C_1-C_{20})alkyl, (C_2-C_{20})heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_6)heteroalkyl, aryl(C_1-C_6)alkyl and aryl(C_2-C_6)heteroalkyl;

R^5 and R^6 are each members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl, or optionally R^5 and R^6 are combined to form a 3- to 7-membered ring;

R^7 and R^8 are each members independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl,

each R^9, R^{10} and R^{11} is independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl;

Y^1 and Y^2 are each members independently selected from the group consisting of -$C(R^{12})$=, -N=, -O-, -S- and $-N(R^{13})$-;

Y^3 is a member selected from the group consisting of N and C wherein the carbon atom shares a double bond with either Z or Y^4; and

Y^4 is a member selected from the group consisting of -$N(R^{14})$-, -$C(R^{14})$=, -N= and -$N(R^{14})$-$C(R^{15})(R^{16})$-, wherein

each R^{12} is a member independently selected from the group consisting of H, halogen, hydroxy, amino, alkylamino, dialkylamino, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl and aryl, or optionally when Y^1 and Y^2 are both -$C(R^{12})$= the two R^{12} groups can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring; or optionally when Y^1 is $-C(R^{12})$= and X is -$C(R^5)$= or $-C(R^5)(R^6)$-, R^{12} and R^5 can be combined to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

R^{13} is a member selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl,

aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl;

R^{14} is a member selected from the group consisting of (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl, aryl(C_2-C_8)heteroalkyl, heteroaryl(C_1-C_8)alkyl, heteroaryl(C_2-C_8)heteroalkyl, heteroaryl and aryl;

R^{15} and R^{16} are each members independently selected from the group consisting of H, (C_1-C_8)alkyl and (C_2-C_8)heteroalkyl; and

R^{17} is a member selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, heteroaryl, aryl, heteroaryl(C_1-C_6)alkyl, heteroaryl(C_2-C_8)heteroalkyl, aryl(C_1-C_8)alkyl and aryl(C_2-C_8)heteroalkyl, or optionally when Y^2 is $-C(R^{12})=$ or $-N(R^{13})-$, R^{17} can be combined with R^{12} or R^{13} to form a substituted or unsubstituted 5- to 6-membered cycloalkyl, heterocycloalkyl, aryl or heteroaryl ring;

with the proviso that when the Y^3-containing ring system is a quinazolinone or quinolinone ring system, and R^4-Q- is substituted or unsubstituted (C_5-C_{15})alkyl, then R^3-L- is other than substituted or unsubstituted (C_2-C_8)alkylene or a substituted or unsubstituted (C_2-C_8)heteroalkylene attached to –NR'R", wherein R' and R" are independently selected from the group consisting of hydrogen and (C_1-C_8)alkyl, or optionally are combined with the nitrogen atom to which each is attached to form a 5-, 6- or 7-membered ring.

98. A method in accordance with Claim 97, wherein Y^4 is $-N(R^{14})-$ wherein R^{14} is selected from the group consisting of aryl and heteroaryl.

99. A method in accordance with Claim 97, wherein X is –C(O)-.

100. A method in accordance with Claim 97, wherein Z is –N=.

101. A method in accordance with Claim 97, wherein Y^1 and Y^2 are each $-C(R^{12})=$, wherein the two R^{12} groups are combined to form a fused 6-membered aryl or heteroaryl ring.

102. A method in accordance with Claim 97, wherein X is –C(O)-; Z is –N=; Y^3 is C; and Y^1 and Y^2 are each $-C(R^{12})=$ wherein the two R^{12} groups are combined to form a fused 6-membered substituted or unsubstituted aryl or heteroaryl ring.

103. A method in accordance with Claim 97, wherein L is (C_1-C_8)alkylene.

104. A method in accordance with Claim 97, wherein Q is –C(O)-.

105. A method in accordance with Claim 97, wherein R^4 is selected from the group consisting of $(C_5$-$C_{15})$alkyl, substituted or unsubstituted phenyl and biphenyl.

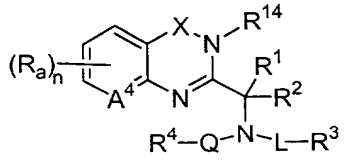
106. A method in accordance with Claim 97, wherein R^3 is selected from the group consisting of $(C_1$-$C_8)$alkoxy, $(C_1$-$C_8)$alkylamino, di$(C_1$-$C_8)$alkylamino, $(C_2$-$C_8)$heteroalkyl, $(C_3$-$C_9)$heterocyclyl, $(C_1$-$C_8)$acylamino, cyano, heteroaryl, $-CONR^9R^{10}$ and $-CO_2R^{11}$.

107. A method in accordance with Claim 97, wherein R^1 and R^2 are independently selected from the group consisting of H and $(C_1$-$C_4)$alkyl.

108. A method in accordance with Claim 97, wherein Y^3 is C and the carbon atom shares a double bond with Z.

109. A method in accordance with Claim 97, wherein the Y^3-containing ring system is selected from the group consisting of quinoline, quinazoline, naphthalene, quinolinone, quinazolinone, triazolinone, pyrimidin-4-one, benzimidazole, thiazole, imidazole, pyridine, pyrazine and benzodiazepine.

110. A method in accordance with Claim 97, wherein said compound has the formula **(III)**:



III

wherein

A^4 is C or N;

X is –CO-, $-CH_2$- or a bond;

R^1 and R^2 are each members independently selected from the group consisting of H and $(C_1$-$C_4)$alkyl;

R^{14} is a substituted or unsubstituted member selected from the group consisting of phenyl, pyridyl, thiazolyl, thienyl and pyrimidinyl;

Q is –CO-;

L is (C_1-C_8)alkylene;

the subscript n is an integer of from 0 to 4; and

each R_a is independently selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R", -SR', -R', -CN, -NO_2, -CO_2R', -CONR'R", -C(O)R', -OC(O)NR'R", -NR"C(O)R', -NR"$C(O)_2$R', ,-NR'-C(O)NR"R"', -NH-$C(NH_2)$=NH, -NR'$C(NH_2)$=NH, -NH-$C(NH_2)$=NR', -S(O)R', -$S(O)_2$R', -$S(O)_2$NR'R", -N_3, -$CH(Ph)_2$, perfluoro(C_1-C_4)alkoxy, and perfluoro(C_1-C_4)alkyl, wherein R', R" and R"' are each independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C_1-C_4)alkyl, and (unsubstituted aryl)oxy-(C_1-C_4)alkyl.

111. A method in accordance with Claim 110, wherein X is –C(O)-.

112. A method in accordance with Claim 110, wherein X is –CH_2-.

113. A method in accordance with Claim 110, wherein X is a bond.

114. A method in accordance with Claim 110, wherein R^4 is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo(C_1-C_4)alkyl, halo(C_1-C_4)alkoxy, cyano, nitro, and phenyl.

115. A method in accordance with Claim 110, wherein R^{14} is selected from the group consisting of substituted phenyl, substituted pyridyl, substituted thiazolyl and substituted thienyl, wherein the substituents are selected from the group consisting of cyano, halogen, (C_1-C_8)alkoxy, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, $CONH_2$, methylenedioxy and ethylenedioxy.

116. A method in accordance with Claim 110, wherein R^1 is selected from the group consisting of methyl, ethyl and propyl, and R^2 is hydrogen.

117. A method in accordance with Claim 110, wherein R^1 and R^2 are each methyl.

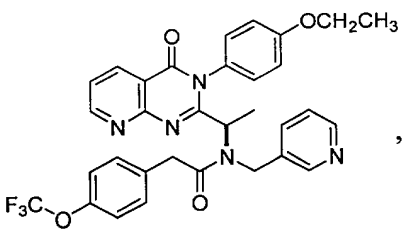
118. A method in accordance with Claim 110, wherein R^3 is selected from the group consisting of substituted or unsubstituted pyridyl and substituted or

unsubstituted imidazolyl.

119. A method in accordance with Claim 110, wherein L is (C_1-C_4)alkylene.

120. A method in accordance with Claim 110, wherein X is –CO-; R^1 and R^2 are each independently selected from the group consisting of H, methyl and ethyl; R^{14} is selected from the group consisting of substituted or unsubstituted phenyl; Q is –CO-; L is methylene, ethylene or propylene, R^3 is selected from the group consisting of substituted or unsubstituted pyridyl and substituted or unsubstituted imidazolyl; R^4 is substituted or unsubstituted benzyl, wherein said substituents are selected from the group consisting of halogen, halo(C_1-C_4)alkyl, halo(C_1-C_4)alkoxy, cyano, nitro, and phenyl; and each R_a is selected from the group consisting of halogen, -OR', -OC(O)R', -NR'R", -SR', -R', -CN, -NO_2, -CO_2R', -CONR'R", -C(O)R', -NR"C(O)R', -NR'-C(O)NR"R"', perfluoro(C_1-C_4)alkoxy, and perfluoro(C_1-C_4)alkyl, wherein R', R" and R"' are each independently selected from the group consisting of H, (C_1-C_8)alkyl, (C_2-C_8)heteroalkyl, unsubstituted aryl, unsubstituted heteroaryl, (unsubstituted aryl)-(C_1-C_4)alkyl, and (unsubstituted aryl)oxy-(C_1-C_4)alkyl.

121. The method of Claim 110, wherein said compound is selected from the group consisting of:



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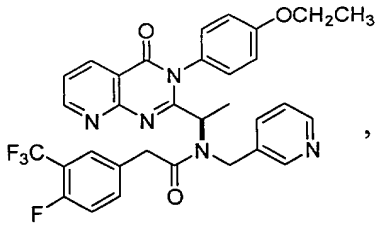

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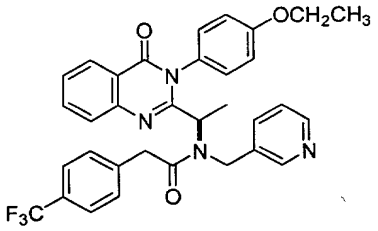
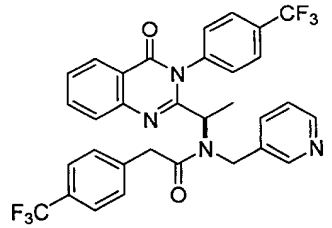


and


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122. A method in accordance with Claim 97, wherein said CXCR3-mediated condition is selected from the group consisting of neurodegenerative diseases, multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ transplant conditions and skin transplant conditions.

123. The method of Claim 97, wherein said compound modulates CXCR3.

124. A method in accordance with Claim 110, wherein said compound is administered in combination with a second therapeutic agent, wherein said second therapeutic agent is useful for treating neurodegenerative diseases, multiple sclerosis, systemic lupus erythematosus, rheumatoid arthritis, atherosclerosis, encephalitis, meningitis, hepatitis, nephritis, sepsis, sarcoidosis, psoriasis, eczema, uticaria, type I diabetes, asthma, conjunctivitis, otitis, allergic rhinitis, chronic obstructive pulmonary disease, sinusitis, dermatitis, inflammatory bowel disease, ulcerative colitis, Crohn's disease, Behcet's syndrome, gout, cancer, viral infections, bacterial infections, organ transplant conditions or skin transplant conditions.

125. A method in accordance with Claim 124, wherein said organ transplant condition is a bone marrow transplant condition or a solid organ transplant condition.

126. A method in accordance with Claim 125, wherein said solid organ transplant condition is a kidney transplant condition, a liver transplant condition, a lung transplant condition, a heart transplant condition or a pancreas transplant condition.

127. A method in accordance with Claim 97, wherein said CXCR3-mediated condition is restenosis.

128. A method in accordance with Claim 97, wherein said CXCR3-mediated condition is selected from the group consisting of multiple sclerosis, rheumatoid

arthritis and organ transplant conditions.

129. A method in accordance with Claim 110, wherein said compound is used in conjunction with another therapeutic agent selected from the group consisting of Remicade®, Enbrel®, a COX-2 inhibitor, a glucocorticoid, an immunosuppressant, methotrexate, predisolone, azathioprine, cyclophosphamide, tacrolimus, mycophenolate, hydroxychloroquine, sulfasalazine, cyclosporine A, D-penicillamine, a gold compound, an antilymphocyte or antithymocyte globulin, betaseron, avonex and copaxone.

130. A method in accordance with Claim 110, wherein said CXCR3-mediated condition is an organ transplant condition and said compound is used alone or in combination with a second therapeutic agent selected from the group consisting of cyclosporine A, FK-506, rapamycin, mycophenolate, prednisolone, azathioprene, cyclophosphamide and an antilymphocyte globulin.

131. A method in accordance with Claim 110, wherein said CXCR3-mediated condition is rheumatoid arthritis and said compound is used alone or in combination with a second therapeutic agent selected from the group consisting of methotrexate, sulfasalazine, hydroxychloroquine, cyclosporine A, D-penicillamine, Remicade®, Enbrel®, auranofin and aurothioglucose.

132. A method in accordance with Claim 110, wherein said CXCR3-mediated condition is multiple sclerosis and said compound is used alone or in combination with a second therapeutic agent selected from the group consisting of betaseron, avonex, azathioprene, capoxone, prednisolone and cyclophosphamide.

133. The method of Claim 110, wherein said subject is a human.

134. A method for the modulation of CXCR3 function in a cell, comprising contacting said cell with a compound of Claim **1**.

135. A method for the modulation of CXCR3 function, comprising contacting a CXCR3 protein with a compound of Claim 1.